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09/308, 475

The  
United  
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*Has received an application for a patent for a new and useful invention. The title and description of the invention are enclosed. The requirements of law have been complied with, and it has been determined that a patent on the invention shall be granted under the law.*

*Therefore, this*

United States Patent

*Grants to the person(s) having title to this patent the right to exclude others from making, using, offering for sale, or selling the invention throughout the United States of America or importing the invention into the United States of America for the term set forth below, subject to the payment of maintenance fees as provided by law.*

*If this application was filed prior to June 8, 1995, the term of this patent is the longer of seventeen years from the date of grant of this patent or twenty years from the earliest effective U.S. filing date of the application, subject to any statutory extension.*

*If this application was filed on or after June 8, 1995, the term of this patent is twenty years from the U.S. filing date, subject to any statutory extension. If the application contains a specific reference to an earlier filed application or applications under 35 U.S.C. 120, 121 or 365(c), the term of the patent is twenty years from the date on which the earliest application was filed, subject to any statutory extension.*

*Bruce Lehman*

*Commissioner of Patents and Trademarks*

*Melvinia Gary*

*Attest*



US005658911A

**United States Patent** [19]

Strupczewski et al.

[11] **Patent Number:** 5,658,911[45] **Date of Patent:** Aug. 19, 1997

[54] **HETEROARYLPIPERIDINES, AND THEIR USE AS ANTIPSYCHOTICS AND ANALGETICS**

[75] Inventors: **Joseph T. Strupczewski, Flemington, N.J.; Kenneth J. Bordeau, Upper Black Eddy, Pa.; Edward J. Glamkowski, Warren, N.J.; Yulin Chiang, Convent Station, N.J.; Grover C. Helsley, Stockton, N.J.**

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[21] Appl. No.: 309,395

[22] Filed: Sep. 20, 1994

**Related U.S. Application Data**

[63] Continuation of Ser. No. 969,383, Oct. 30, 1992, Pat. No. 5,364,866, which is a continuation-in-part of Ser. No. 788, 269, Nov. 5, 1991, abandoned, which is a continuation-in-part of Ser. No. 944,705, Sep. 5, 1991, abandoned, which is a continuation of Ser. No. 619,825, Nov. 29, 1990, abandoned, which is a continuation of Ser. No. 456,790, Dec. 29, 1989, abandoned, which is a continuation-in-part of Ser. No. 354,411, May 19, 1989, abandoned.

[51] **Int. Cl.**<sup>6</sup> A61K 31/495; A61K 31/55; A61K 31/505; A61K 31/44; C07D 401/04; C07D 403/04; C07D 417/04; C07D 419/04; C07D 221/04; C07D 275/04; C07D 239/02

[52] **U.S. Cl.** 514/254; 514/217; 514/233.8; 514/234.5; 514/258; 514/249; 514/269; 514/274; 514/296; 514/300; 514/301; 514/307; 514/309; 514/311; 514/312; 514/314; 514/321; 514/322; 514/373; 514/379; 514/403; 514/411; 540/576; 540/588; 544/121; 544/129; 544/132; 544/135; 544/137; 544/279; 544/295; 544/333; 544/353; 544/361; 544/362; 544/366; 544/364; 544/368; 544/316; 544/317; 544/318; 544/367; 544/371; 546/98; 546/99; 546/100; 546/113; 546/122; 546/135; 546/141; 546/142; 546/143; 546/144; 546/146; 546/148; 546/149; 546/153; 546/155; 546/156; 546/157; 546/158; 546/183; 546/198; 546/199; 546/270; 546/271; 548/207; 548/209; 548/110; 548/219; 548/221; 548/241; 548/361.1; 548/363.1; 548/362.5

[58] **Field of Search** 514/254; 544/360, 544/366, 368

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**[57] ABSTRACT**

Heteroarylpiperidines, pyrrolidines, and piperazines are useful as antipsychotic and analgesic agents. The compounds are especially useful for treating psychoses by administering to a mammal a psychoses-treating effective amount of one of the compounds. The compounds are also useful as analgesics by administering a pain-relieving effective amount of one of the compounds to a mammal.

45 Claims, No Drawings